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 NEWS 2 Dec 17 The CA Lexicon available in the CAPLUS and CA files  
 NEWS 3 Feb 06 Engineering Information Encompass files have new names  
 NEWS 4 Feb 16 TOXLINE no longer being updated  
 NEWS 5 Apr 23 Search Derwent WPINDEX by chemical structure  
 NEWS 6 Apr 23 PRE-1967 REFERENCES NOW SEARCHABLE IN CAPLUS AND CA  
 NEWS 7 May 07 DGENE Reload  
 NEWS 8 Jun 20 Published patent applications (A1) are now in USPATFULL  
 NEWS 9 JUL 13 New SDI alert frequency now available in Derwent's  
 DWPI and DPCI  
 NEWS 10 Aug 23 In-process records and more frequent updates now in  
 MEDLINE  
 NEWS 11 Aug 23 PAGE IMAGES FOR 1947-1966 RECORDS IN CAPLUS AND CA  
 NEWS 12 Aug 23 Adis Newsletters (ADISNEWS) now available on STN  
 NEWS 13 Sep 17 IMSworld Pharmaceutical Company Directory name change  
 to PHARMASEARCH  
 NEWS 14 Oct 09 Korean abstracts now included in Derwent World Patents  
 Index  
 NEWS 15 Oct 09 Number of Derwent World Patents Index updates increased  
 NEWS 16 Oct 15 Calculated properties now in the REGISTRY/ZREGISTRY File  
 NEWS 17 Oct 22 Over 1 million reactions added to CASREACT  
 NEWS 18 Oct 22 DGENE GETSIM has been improved  
 NEWS 19 Oct 29 AAASD no longer available

NEWS EXPRESS August 15 CURRENT WINDOWS VERSION IS V6.0c,  
 CURRENT MACINTOSH VERSION IS V6.0 (ENG) AND V6.0J (JP),  
 AND CURRENT DISCOVER FILE IS DATED 07 AUGUST 2001  
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 NEWS WWW CAS World Wide Web Site (general information)

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\* \* \* \* \* STN Columbus \* \* \* \* \*

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FULL ESTIMATED COST

SINCE FILE

ENTRY

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TOTAL

SESSION

0.15

FILE 'REGISTRY' ENTERED AT 10:32:07 ON 13 NOV 2001

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STRUCTURE FILE UPDATES: 11 NOV 2001 HIGHEST RN 368856-38-6

DICTIONARY FILE UPDATES: 11 NOV 2001 HIGHEST RN 368856-38-6

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER see  
HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES  
for more information. See STNote 27, Searching Properties in the CAS  
Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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Uploading 09555442.str

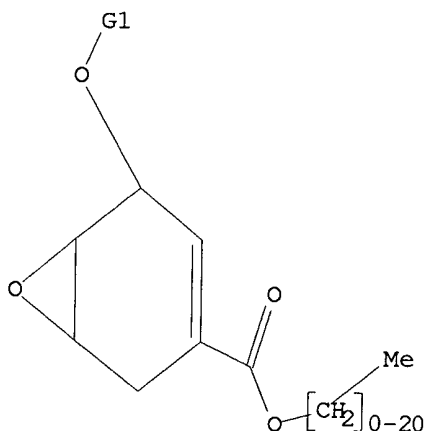
L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

<sup>1</sup>—O—CH<sub>2</sub>



G1 H, [01]

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SCREEN SEARCH COMPLETED - 17523 TO ITERATE

5.7% PROCESSED 1000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 342558 TO 358362  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 10:32:58 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 353579 TO ITERATE

100.0% PROCESSED 353579 ITERATIONS  
SEARCH TIME: 00.00.09

20 ANSWERS

L3 20 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
133.87	134.02

FILE 'CAPLUS' ENTERED AT 10:33:13 ON 13 NOV 2001  
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FILE COVERS 1947 - 13 Nov 2001 VOL 135 ISS 21  
FILE LAST UPDATED: 12 Nov 2001 (20011112/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

Caplus now provides online access to patents and literature covered in CA from 1947 to the present. On April 22, 2001, bibliographic information and abstracts were added for over 2.2 million references published in CA from 1947 to 1966.

The CA Lexicon is now available in the Controlled Term (/CT) field. Enter HELP LEXICON for full details.

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L4 34 L3

=> s 14 and neuraminidase?

10146 NEURAMINIDASE?

L5 7 L4 AND NEURAMINIDASE?

=> d 15 1-7 ibib abs hitstr

L5 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:582659 CAPLUS

DOCUMENT NUMBER: 131:228949

TITLE: Preparation of amino acid cyclitols as antiviral agents and **neuraminidase** inhibitors

INVENTOR(S): Bischofberger, Norbert W.; Kim, Choung U.; Lew, Willard; Liu, Hongtao; Williams, Matthew A.

PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA

SOURCE: U.S., 157 pp., Cont.-in-part of U.S. Ser. No. 580,567,

abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

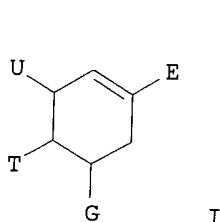
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

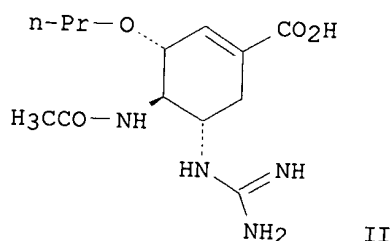
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US 5952375	A	19990914	US 1996-606624	19960226
US 5866601	A	19990202	US 1995-476946	19950606
TW 426663	B	20010321	TW 1996-85107487	19960621
US 6225341	B1	20010501	US 1999-288091	19990408
PRIORITY APPLN. INFO.:			US 1995-395245	B2 19950227
			US 1995-476946	A2 19950606
			US 1995-580567	B2 19951229
			US 1996-12299	P 19960226
			US 1996-606624	A 19960226
			WO 1996-US2882	W 19960226
			US 1996-653034	A 19960524

OTHER SOURCE(S):  
GI

MARPAT 131:228949



I



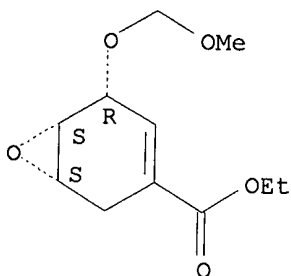
II

AB Amino acid cyclitols I (E = CO<sub>2</sub>H, ester; G = substituted amine; T = amide;

U = alkoxy, thioalkyl, alkylamine) were prepd. as virucides. Methods of inhibiting **neuraminidase** in samples suspected of contg. **neuraminidase** are also described. Antigenic materials, polymers, antibodies, conjugates of the compds. of the invention with labels, and assay methods for detecting **neuraminidase** activity are also described. Thus, cyclitol II.TFA was prepd. and tested for its antiviral

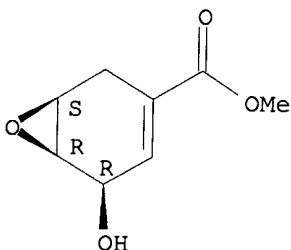
IT **221386-93-2**  
 RL: RCT (Reactant)  
 (prepn. of amino acid cyclitols as influenza antiviral agents and  
**neuraminidase** inhibitors)  
 RN 221386-93-2 CAPLUS  
 CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-(methoxymethoxy)-,  
 ethyl ester, (1S,5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



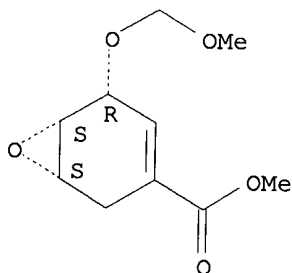
IT **76985-84-7P 187226-87-5P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of amino acid cyclitols as influenza antiviral agents and  
**neuraminidase** inhibitors)  
 RN 76985-84-7 CAPLUS  
 CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-hydroxy-, methyl  
 ester,  
 (1S,5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 187226-87-5 CAPLUS  
 CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-(methoxymethoxy)-,  
 methyl ester, (1S,5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



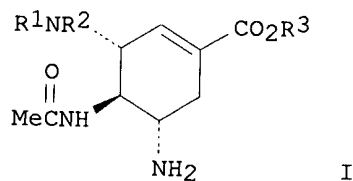
REFERENCE COUNT: 94  
 REFERENCE(S): (3) Anon; WO 9116320 1991 CAPLUS

(5) Anon; EP 0534216 A1 1992 CAPLUS  
 (6) Anon; EP 0539204 A1 1992 CAPLUS  
 (7) Anon; WO 9206691 1992 CAPLUS  
 (8) Anon; WO 9312105 1993 CAPLUS  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2001 ACS  
 ACCESSION NUMBER: 1999:404916 CAPLUS  
 DOCUMENT NUMBER: 131:44605  
 TITLE: Preparation of cyclohexenecarboxylates as  
**neuraminidase** inhibitors  
 INVENTOR(S): Kim, Choung U.; Lew, Willard  
 PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA  
 SOURCE: PCT Int. Appl., 45 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

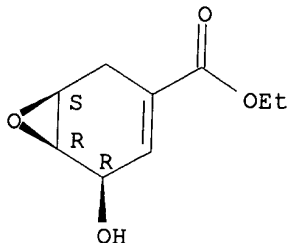
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931047	A1	19990624	WO 1998-US26327	19981210
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
ZA 9811314	A	19990614	ZA 1998-11314	19981210
AU 9917226	A1	19990705	AU 1999-17226	19981210
US 6111132	A	20000829	US 1998-208646	19981210
EP 1040095	A1	20001004	EP 1998-962059	19981210
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRIORITY APPLN. INFO.:			US 1997-69553	P 19971212
			WO 1998-US26327	W 19981210

GI



AB The title compds. I [R1, R2,, and R3 as defined], **neuraminidase**  
 inhibitors, were prepd. E.g., I (R1 = H, R2 = CH<sub>2</sub>Et2, R3 = K) was prepd.  
 IT **182367-90-4P 227599-99-7P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of cyclohexenecarboxylates as **neuraminidase**  
 inhibitors)  
 RN 182367-90-4 CAPLUS  
 CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-hydroxy-, ethyl ester,  
 (1R,5S,6S)-rel- (9CI) (CA INDEX NAME)

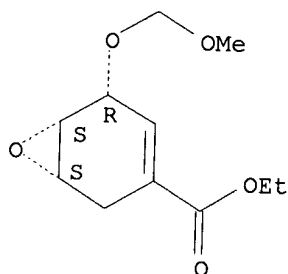
Relative stereochemistry.



RN 227599-99-7 CAPLUS

CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-(methoxymethoxy)-, ethyl ester, (1R,5S,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 1

REFERENCE(S): (1) Biota Scient Management; WO 9116320 A 1991 CAPLUS

L5 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:216889 CAPLUS

DOCUMENT NUMBER: 130:237807

TITLE: Preparation of antiviral unsaturated aminodeoxy cyclitols as **neuraminidase** inhibitors

INVENTOR(S): Bischofberger, Norbert W.; Dahl, Terrence C.; Hitchcock, Michael J. M.; Kim, Choung U.; Lew, Willard; Liu, Hongtao; Mills, Roger G.; Williams, Matthew A.

PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA

SOURCE: PCT Int. Appl., 390 pp.

DOCUMENT TYPE: CODEN: PIXXD2

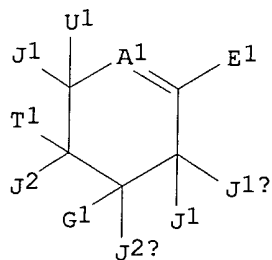
LANGUAGE: Patent

FAMILY ACC. NUM. COUNT: English

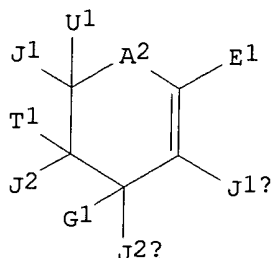
PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9914185	A1	19990325	WO 1998-US19355	19980915
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9895694	A1	19990405	AU 1998-95694	19980915
EP 1015417	A1	20000705	EP 1998-949356	19980915
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			

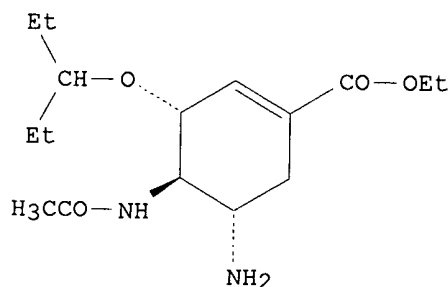
JP 2001516739 T2 20011002 JP 2000-511738 19980915  
 ZA 9808451 A 19990331 ZA 1998-8451 19980916  
 PRIORITY APPLN. INFO.: US 1997-59308 P 19970917  
 US 1997-60195 P 19970926  
 US 1997-938644 A 19970926  
 WO 1998-US19355 W 19980915  
 OTHER SOURCE(S): MARPAT 130:237807  
 GI



I



II

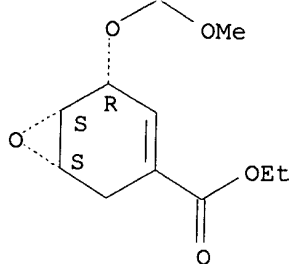


III

- AB Unsatd. aminodeoxy cyclitols I and II [A1 = CJ1, n, NO; A2 = C(J1)2, NJ1, NOJ1, S, SO, SO2, O; E1 = substituted alkyl, ester; G1 = NH2, N3, CN, OH, alkoxy, NO2, substituted alkyl; T1 = amine, H, acyl amide, halo, CN, nitro, alkoxy, sulfonyl; U1 = H, acyl amide, halo, CN, nitro, alkoxy, sulfonyl; J1, J1a = independently H, alkyl, halo, CN, NO2, N3; J2, J2a = independently H, alkyl] were prepd. as **neuraminidase** inhibitors. The compds. generally comprise an acidic group, a basic group, a substituted amino or N-acyl and a group having an optionally hydroxylated alkane moiety. Methods of inhibiting **neuraminidase** in samples suspected of contg. **neuraminidase** are also described. Antigenic materials, polymers, antibodies, conjugates of the compds. of the invention with labels, and assay methods for detecting **neuraminidase** activity are also described. Thus cyclitol III was prepd. and tested for its inhibition of **neuraminidase**.
- IT 221386-93-2  
 RL: RCT (Reactant)  
 (prepn. of antiviral unsatd. aminodeoxy cyclitols as **neuraminidase** inhibitors)
- RN 221386-93-2 CAPLUS
- CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-(methoxymethoxy)-, ethyl ester, (1S,5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





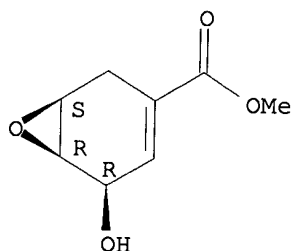
IT 76985-84-7P 187226-87-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of antiviral unsatd. aminodeoxy cyclitols as  
neuraminidase inhibitors)

RN 76985-84-7 CAPLUS

CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-hydroxy-, methyl  
ester,  
(1S,5R,6R)- (9CI) (CA INDEX NAME)

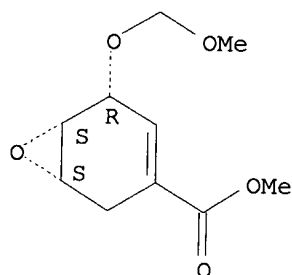
Absolute stereochemistry. Rotation (-).



RN 187226-87-5 CAPLUS

CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-(methoxymethoxy)-,  
methyl ester, (1S,5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

REFERENCE(S):

15

- (1) Anon; US 5360817 A CAPLUS
  - (2) Anon; WO 9116320 A CAPLUS
  - (3) Biota Scientific Management Pty Ltd; WO 9206691 A  
1992 CAPLUS
  - (4) Biota Scientific Management Pty Ltd; EP 0786458 A  
1997 CAPLUS
  - (5) Bischofberger, N; US 5763483 A 1998 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

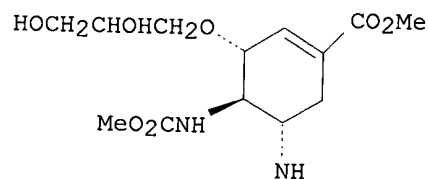
L5 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:90319 CAPLUS

DOCUMENT NUMBER: 130:153408

inhibitors  
 INVENTOR(S): Lew, Willard; Kim, Choung U.; Liu, Hongtao; Williams, Matthew A.  
 PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA  
 SOURCE: U.S., 48 pp., Cont.-in-part of U.S. Ser. No. 395,245, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5866601	A	19990202	US 1995-476946	19950606
CA 2188835	AA	19960906	CA 1996-2188835	19960226
WO 9626933	A1	19960906	WO 1996-US2882	19960226
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9653571	A1	19960918	AU 1996-53571	19960226
AU 720933	B2	20000615		
EP 759917	A1	19970305	EP 1996-912404	19960226
EP 759917	B1	20000412		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,				
SE				
CN 1147813	A	19970416	CN 1996-190133	19960226
BR 9607098	A	19971104	BR 1996-7098	19960226
JP 11501908	T2	19990216	JP 1996-526442	19960226
US 5952375	A	19990914	US 1996-606624	19960226
EP 976734	A2	20000202	EP 1999-117934	19960226
EP 976734	A3	20000322		
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IE				
AT 191711	E	20000415	AT 1996-912404	19960226
ES 2118674	T3	20000816	ES 1996-912404	19960226
NO 9703908	A	19971027	NO 1997-3908	19970826
US 6225341	B1	20010501	US 1999-288091	19990408
PRIORITY APPLN. INFO.:				
			US 1995-395245	B2 19950227
			US 1995-476946	A 19950606
			US 1995-580567	A 19951229
			EP 1996-912404	A3 19960226
			US 1996-606624	A3 19960226
			WO 1996-US2882	W 19960226
OTHER SOURCE(S): MARPAT 130:153408				
GI				



AB Novel aminocyclohexenecarboxylates, such as I, are described. The  
 compds.  
 generally comprise an acidic group, a basic group, a substituted amino or

Pharmaceutical compns. comprising the inhibitors of the invention are also

described. Methods of inhibiting **neuraminidase** in samples suspected of contg. **neuraminidase** are also described. Antigenic materials, polymers, antibodies, conjugates of the compds. of the invention with labels, and assay methods for detecting **neuraminidase** activity are also described.

IT 76985-85-8P

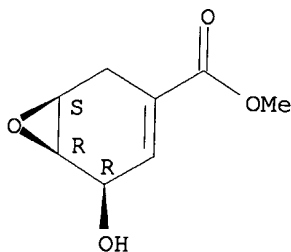
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(reactant for prepn. of aminocyclohexenecarboxylates as **neuraminidase** inhibitors)

RN 76985-85-8 CAPLUS

CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-hydroxy-, methyl ester,

(1R,5S,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 50

REFERENCE(S): (3) Anon; WO 9116320 1991 CAPLUS  
(5) Anon; EP 0534216 A1 1992 CAPLUS  
(6) Anon; EP 0539204 A1 1992 CAPLUS  
(7) Anon; WO 9206691 1992 CAPLUS  
(8) Anon; WO 9312105 1993 CAPLUS  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1998:397793 CAPLUS

DOCUMENT NUMBER: 129:54135

TITLE: Preparation of aminocyclohexenylcarboxylates and related compounds as **neuraminidase** inhibitors.

INVENTOR(S): Bischofberger, Norbert W.; Kim, Choung U.; Lew, Willard; Liu, Hongtao; Williams, Matthew A.

PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA

SOURCE: U.S., 74 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

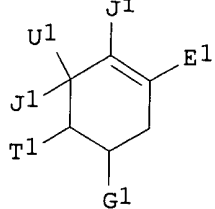
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

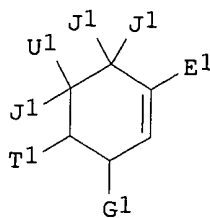
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5763483	A	19980609	US 1996-774345	19961227

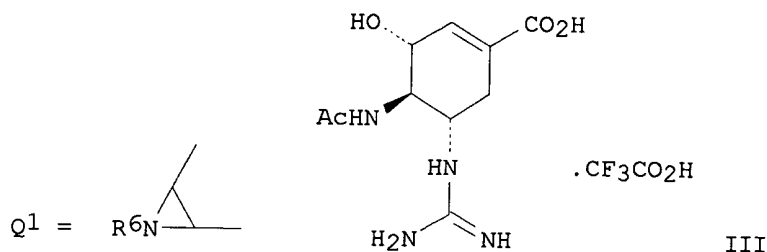
OTHER SOURCE(S): MARPAT 129:54135  
GI



I



II



III

AB Title compds. [I, II; E1 = [(CR1)2]mW1; W1 = group comprising an acidic  
H,  
protected acidic group, etc.; G1 = N3, CN, OH, OR5, NO2, [(CR1)2]mW2; R5  
=

H, protecting group; W2 = group comprising a basic heteroatom, etc.; T1 =  
NR1W3, heterocyclyl; W3 = (substituted) alkyl, alkenyl, alkynyl, acyl,  
heterocyclyl, etc.; T1U1 or T1G1 = Q1; U1 = H, X1W6; X1 = bond, O, imino,  
S, SO, SO2, etc.; W6 = (substituted) alkyl, alkenyl, alkynyl, acyl,  
amino,  
aminocarbonyl, etc.; J1 = H, F, Cl; R1 = H, alkyl; R6 = H, protecting  
group, residue of carboxyl-contg. compd.; m = 0-2; with provisos], were  
prepd. Thus, title compd. (III) (prepn. given) inhibited  
**neuraminidase** with IC50 <1.0 .mu.M.

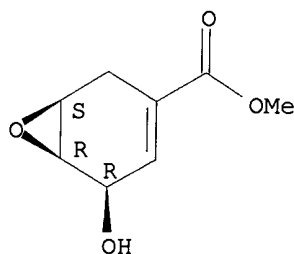
IT **76985-84-7P 187226-87-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of aminocyclohexenylcarboxylates and related compds. as  
**neuraminidase** inhibitors)

RN 76985-84-7 CAPLUS

CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-hydroxy-, methyl  
ester,  
(1S,5R,6R)- (9CI) (CA INDEX NAME)

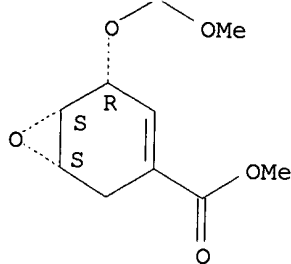
Absolute stereochemistry. Rotation (-).



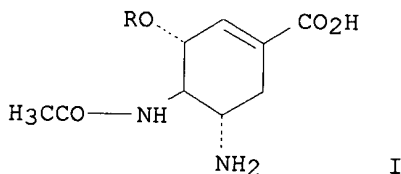
RN 187226-87-5 CAPLUS

CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-(methoxymethoxy)-,  
methyl ester, (1S,5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



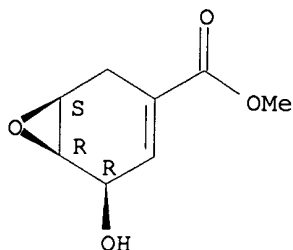
L5 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2001 ACS  
 ACCESSION NUMBER: 1997:21109 CAPLUS  
 DOCUMENT NUMBER: 126:171813  
 TITLE: Influenza **Neuraminidase** Inhibitors  
 Possessing a Novel Hydrophobic Interaction in the  
 Enzyme Active Site: Design, Synthesis, and Structural  
 Analysis of Carbocyclic Sialic Acid Analogs with  
 Potent Anti-Influenza Activity  
 AUTHOR(S): Kim, Choung U.; Lew, Willard; Williams, Matthew A.;  
 Zhang, Lijun; Liu, Hongtao; Swaminathan, S.;  
 Bischofberger, Norbert; Chen, Ming S.; Tai, Chun Y.;  
 Mendel, Dirk B.; Laver, W. Graeme; Stevens, Raymond  
 C.  
 CORPORATE SOURCE: Gilead Sciences Inc., Foster City, CA, 94404, USA  
 SOURCE: J. Am. Chem. Soc. (1997), 119(4), 681-690  
 CODEN: JACSAT; ISSN: 0002-7863  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB The design, synthesis, and in vitro evaluation of the novel carbocycles  
 as transition-state-based inhibitors of influenza **neuraminidase**  
 (NA) are described. The double bond position in the carbocyclic analogs  
 plays an important role in NA inhibition as demonstrated by the antiviral  
 activity of 8 (IC50 = 6.3 .mu.M) vs 9 (IC50 > 200 .mu.M).  
 = Structure-activity studies of a series of carbocyclic analogs, e.g. I (R  
 H, Me, Et, Pr, Bu), identified the 3-pentyloxy moiety as an apparent  
 optimal group at the C3 position with an IC50 value of 1 nM for NA  
 inhibition. The X-ray crystallog. structure of 6h bound to NA revealed  
 the presence of a large hydrophobic pocket in the region corresponding to  
 the glycerol subsite of sialic acid. The high antiviral potency obsd.  
 for 6h appears to be attributed to a highly favorable hydrophobic interaction  
 in this pocket. The practical prepn. of I starting from (-)-quinic acid  
 is also described.  
 IT **76985-84-7P 187226-87-5P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of carbocyclic sialic acid analogs with potent influenza  
 activity)

CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-hydroxy-, methyl ester,  
(1S,5R,6R)- (9CI) (CA INDEX NAME)

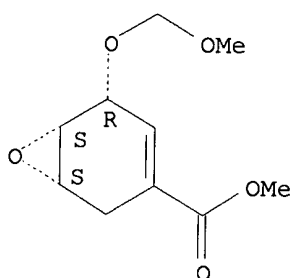
Absolute stereochemistry. Rotation (-).



RN 187226-87-5 CAPLUS

CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-(methoxymethoxy)-, methyl ester, (1S,5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1996:637103 CAPLUS

DOCUMENT NUMBER: 125:300503

TITLE: Preparation of selective inhibitors of viral or bacterial **neuraminidases**

INVENTOR(S): Bischofberger, Norbert W.; Kim, Choung U.; Lew, Willard; Liu, Hongtao; Williams, Matthew A.

PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA

SOURCE: PCT Int. Appl., 345 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

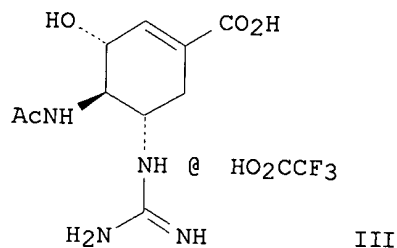
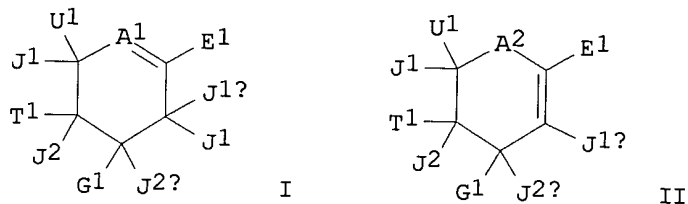
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

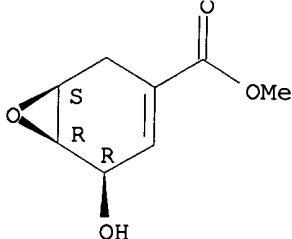
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9626933	A1	19960906	WO 1996-US2882	19960226
W:	AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
US 5866601	A	19990202	US 1995-476946	19950606
AU 9653571	A1	19960918	AU 1996-53571	19960226
AU 720933	B2	20000615		

EP 759917 B1 20000412 1996-912404 19960226  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,  
 SE  
 BR 9607098 A 19971104 BR 1996-7098 19960226  
 JP 11501908 T2 19990216 JP 1996-526442 19960226  
 AT 191711 E 20000415 AT 1996-912404 19960226  
 TW 426663 B 20010321 TW 1996-85107487 19960621  
 NO 9703908 A 19971027 NO 1997-3908 19970826  
 PRIORITY APPLN. INFO.:  
 US 1995-395245 A 19950227  
 US 1995-476946 A 19950606  
 US 1995-580567 A 19951229  
 US 1996-12299 P 19960226  
 US 1996-606624 A 19960226  
 WO 1996-US2882 W 19960226  
 US 1996-653034 A 19960524  
 OTHER SOURCE(S): MARPAT 125:300503  
 GI



AB The title compds. [I, II; A1 = (un)substituted CH, N; A2 = (un)substituted CH2, (un)substituted NH, N(O), S, SO, SO2, O; E1 = terminal-(un)substituted alkyl; G1 = N3, CN, OH, NO2, alkoxy, etc.; T1 = (un)substituted NH2, heterocyclyl; J1, J1a = H, alkyl, halogen, CN, NO2, N3, etc.; U1 = H, (un)substituted SO3H, etc.; J2, J2a = H, alkyl] (e.g., III; IC50 <1.0 .mu.M), useful as selective inhibitors of viral or bacterial **neuraminidases**, are prepd.  
 IT **76985-85-8P 182367-90-4P 182368-11-2P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of selective inhibitors of viral or bacterial **neuraminidases**)  
 RN 76985-85-8 CAPLUS  
 CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-hydroxy-, methyl ester,  
 (1R,5S,6S)-rel- (9CI) (CA INDEX NAME)

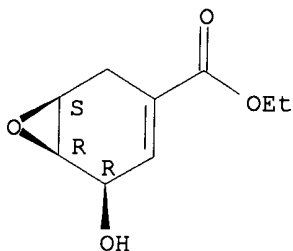
Relative stereochemistry.



RN 182367-90-4 CAPLUS

CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-hydroxy-, ethyl ester, (1R,5S,6S)-rel- (9CI) (CA INDEX NAME)

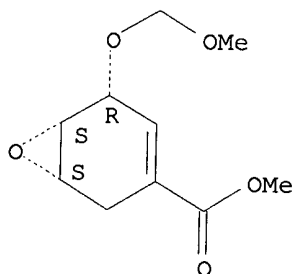
Relative stereochemistry.



RN 182368-11-2 CAPLUS

CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-(methoxymethoxy)-, methyl ester, (1.alpha.,5.beta.,6.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



=>

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COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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SINCE FILE	TOTAL
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CA SUBSCRIBER PRICE

ENTRY  
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SESSION  
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